

February 28, 2003

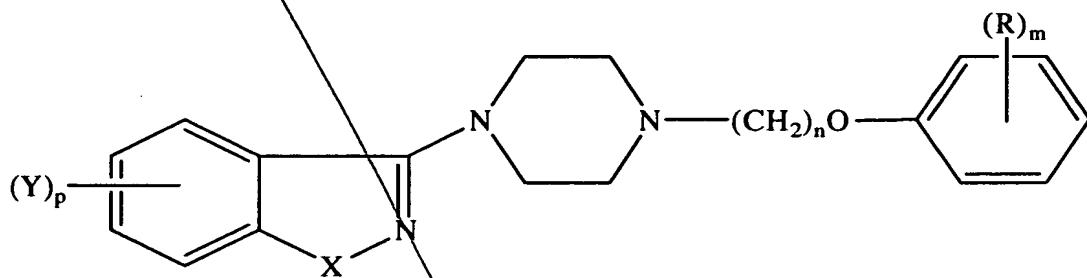
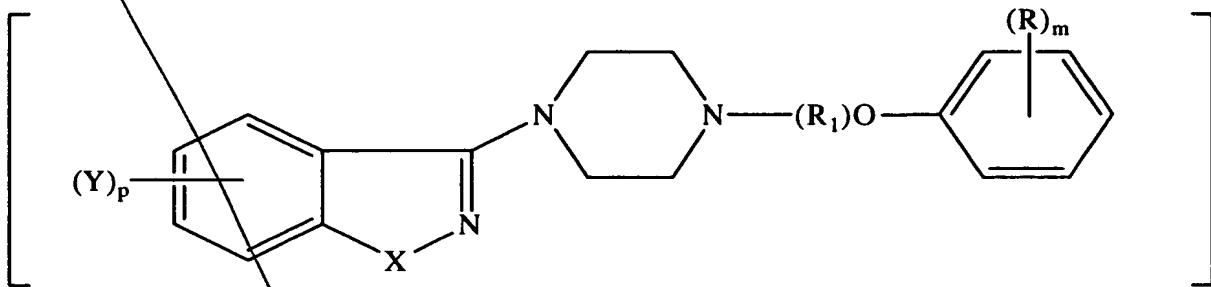
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follows.

In the Claims

Please amend claims 1, 9, 25, 27, 29, 30, 31, 32, 33, 37, 46, 54, 66, 74, 87, 88, 89, 92, 93, and 104 without prejudice, as follows

1. (Thrice Amended) A compound of the formula:



wherein,

X is -O-, -S-, -NH-, or [-N(R2)] -N-R2;

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

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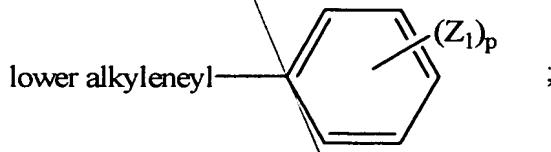
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aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy when p is 2 and X is -O-;[R₁ is R₂₀, R₂₁ or R₂₂, wherein:R₂₀ is -(CH₂)_n- where] n is 2, 3, 4 or 5;[R₂₁ is-CH₂-CH=CH-CH₂-,-CH₂-C≡C-CH₂-,-CH₂-CH=CH-CH₂-CH₂,-CH₂-CH₂-CH=CH-CH₂-,-CH₂-C≡C-CH₂-CH₂, or-CH₂-CH₂-C≡C-CH₂,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, orwhere Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

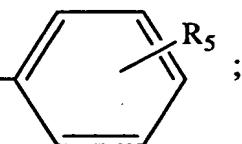
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trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] $-\text{C}(=\text{O})\text{-alkyl}$, $-\text{C}(=\text{O})\text{-O-alkyl}$, $-\text{C}(=\text{O})\text{-aryl}$, $-\text{C}(=\text{O})\text{-heteroaryl}$, or $-\text{CH}(\text{OR}_7)\text{-alkyl}$; $-\text{C}(=\text{W})\text{-alkyl}$, $-\text{C}(=\text{W})\text{-aryl}$, or $-\text{C}(=\text{W})\text{-heteroaryl}$;

wherein alkyl is lower alkyl;

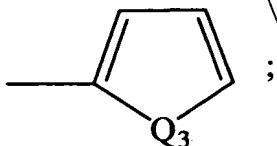
aryl is phenyl or



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P1

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q_3 is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{CH}=\text{N}-$;

[W is CH_2 or CHR_8 or $\text{N}-\text{R}_9$;]

R_7 is hydrogen, lower alkyl, or [alkanoyl] acyl;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-\text{NHR}_{10}$; and

R_{10} is hydrogen, lower alkyl, $\text{C}_1\text{-C}_3$ acyl, aryl,

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~~-C(=O)-aryl or -C(=O)-heteroaryl,
where aryl and heteroaryl are as defined above;]
and~~

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m is 1, 2, or 3;

~~with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, [C₁ = 14 C₄] C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine,
cyano, C₁ - C₄ alkoxy, or -COOR₂₃ where R₂₃ is H or C₁ - C₄ alkyl;
with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.~~

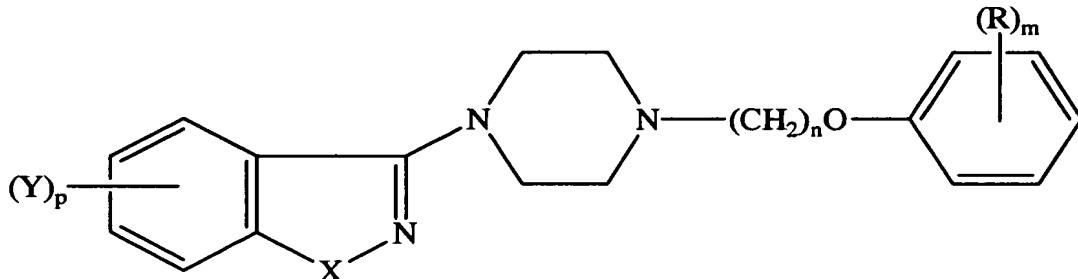
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9. (Twice Amended) A compound as claimed in claim 1, wherein X is -O-,
-S-, or -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of
hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, [acyl,] C₁-C₃
monoalkylamino, acylamino, [-NO₂-], -NO₂, -OCF₃, or -CF₃; and n is 2, 3, or 4.

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25. (Amended three times) A compound of the formula:



wherein X is $-O-$, $-S-$, $-NH-$, or $[-N-R_2] \underline{-N-R_2}$;

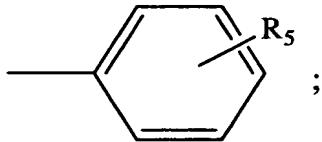
p is 1 or 2;

Y is hydrogen, Cl, Br, or F when p is 1;

Y is lower alkoxy [or halogen] when p is 2 and X is $-O-$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and [phenyl sulfonyl] phenylsulfonyl groups;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

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n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanyol,] Cl,

F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃,
-CF₃,

-C(=O)-alkyl, or -CH(OR₇)-alkyl;

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

m is 1, 2, or 3;

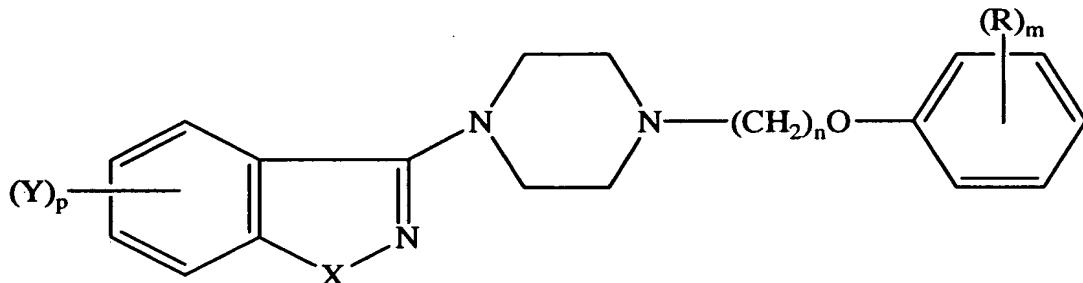
with the exclusion of compounds wherein X is -O- or -S-, Y is hydrogen, and R is
hydrogen, C₁-C₃ alkyl, chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;

with the exclusion of compounds wherein X is -S-, R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

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27. (Thrice Amended) A compound of the formula:



wherein X is -S-;

p is 1 [or 2];

Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

n is 2, 3, or 4;

R is hydrogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, hydroxyl, [acyl, (C₂-C₁₁) alkanyol,] Cl, F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃, -CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl[,];

alkyl is lower alkyl;

R₇ is hydrogen, lower alkyl, or acyl; and

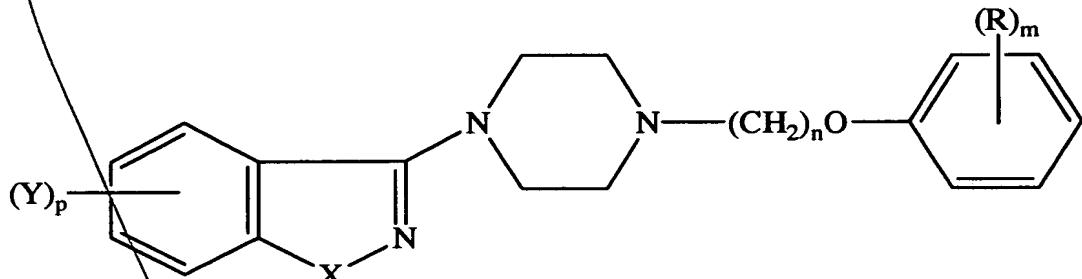
m is 1, 2, or 3;

with the exclusion of compounds wherein Y is hydrogen, and R is hydrogen, C₁-C₃ alkyl, chlorine, fluorine, bromine, iodine, or C₁-C₃ alkoxy;with the exclusion of compounds wherein R is H, and m=1;

or a pharmaceutically acceptable acid addition salt thereof.

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29. (Thrice Amended) A compound of the formula:

wherein X is $-N-R_2$;

p is 1 [or 2];

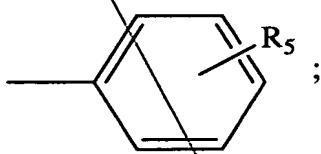
Y is hydrogen, Cl, Br, or F[, when p is 1];

[Y is lower alkoxy or halogen when p is 2;]

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R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) [aroyl,] alkanoyl, and phenylsulfonyl groups;

aryl is phenyl or



wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

 n is 2, 3, or 4;R is hydrogen, C_1-C_3 alkyl, C_1-C_3 alkoxy, hydroxyl, [acyl, (C_2-C_{11}) alkanyol,] Cl, F, Br, I, amino, C_1-C_3 mono- or dialkylamino, acylamino, $-NO_2$, $-OCF_3$,

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CF
~~F, Br, I, amino, C₁-C₃ mono- or dialkylamino, acylamino, -NO₂, -OCF₃,
-CF₃, -C(=O)-alkyl, or -CH(OR₇)-alkyl[,];~~

~~alkyl is lower alkyl;~~

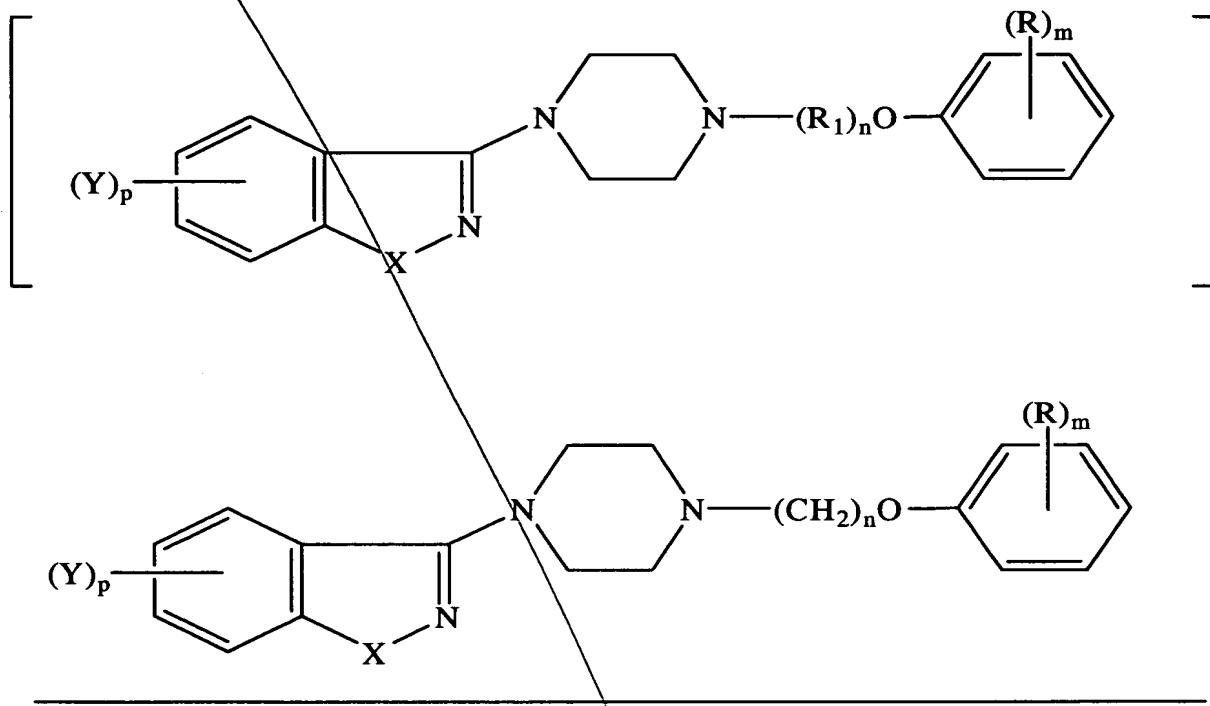
~~R₇ is hydrogen, lower alkyl, or acyl; and~~

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~~m is 1, 2, or 3;~~

~~or a pharmaceutically acceptable acid addition salt thereof.~~

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30. (Thrice Amended) A pharmaceutical composition, which comprises a compound of the formula:



wherein X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is $-O-$;

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~~[R₁ is R₂₀, R₂₁ or R₂₂, wherein:~~

~~R₂₀ is -(CH₂)_n- where] n is 2, 3, 4 or 5;~~

~~[R₂₁ is~~

~~-CH₂-CH=CH-CH₂-,~~

~~-CH₂-C≡C-CH₂-,~~

~~-CH₂-CH=CH-CH₂-CH₂,~~

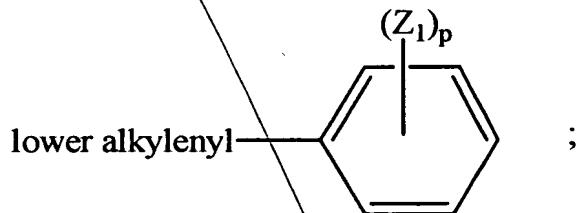
~~-CH₂-CH₂-CH=CH-CH₂-,~~

~~-CH₂-C≡C-CH₂-CH₂- or~~

~~-CH₂-CH₂-C≡C-CH₂,~~

~~the -CH=CH- bond being cis or trans;~~

~~R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or~~



~~where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p as previously defined;]~~

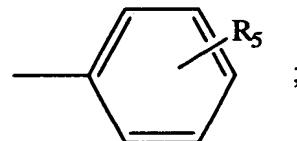
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]

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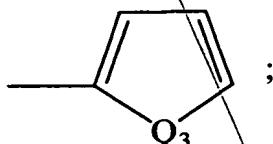
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

Q₃ is -O-, -S-, -NH-, or -CH=N-;[W is CH₂ or CHR₈ or N-R₉ ;]R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;[R₈ is lower alkyl;R₉ is hydroxy, alkoxy, or -NHR₁₀ ; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄

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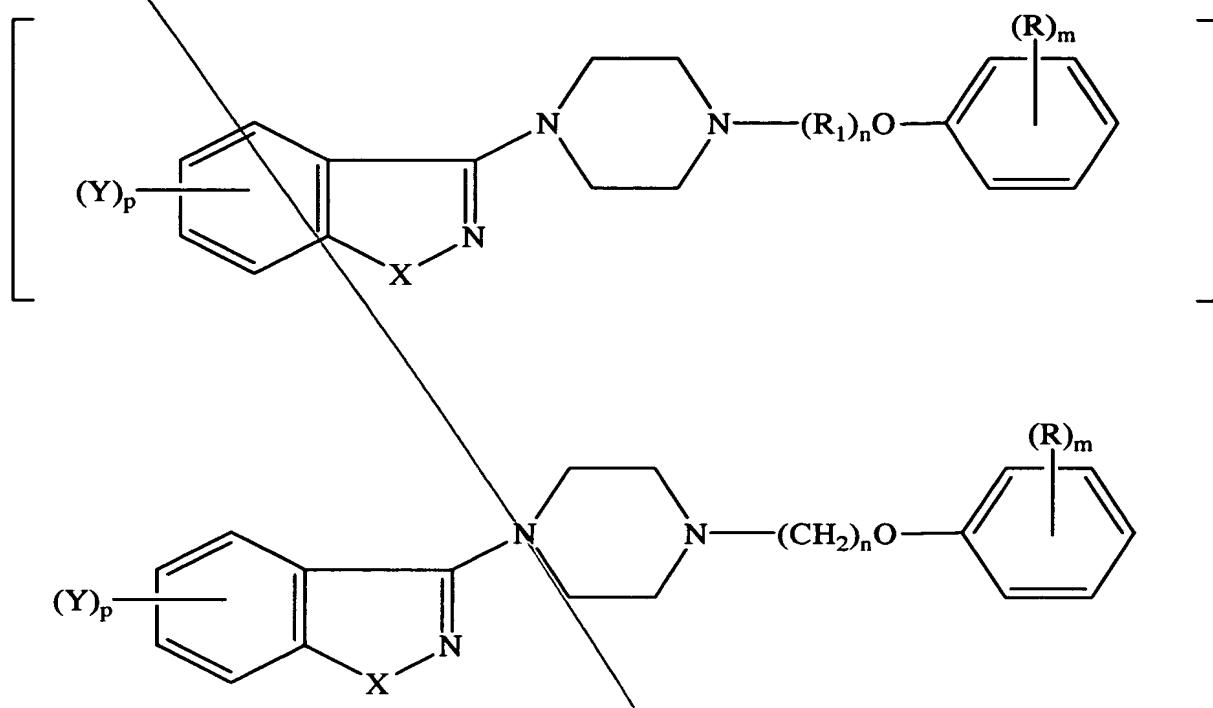
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alkoxy, or ~~-COOR₂₃ where R₂₃ is H or C₁ - C₄ alkyl;~~
~~with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;~~
~~[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable~~
~~acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.~~

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31. (Amended three times) An antipsychotic composition, which comprises a compound of the formula:



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$:

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups; wherein aryl is as defined hereinafter:

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

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X is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4 or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂,

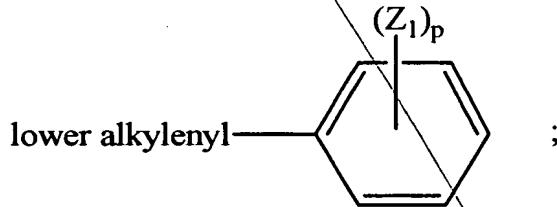
-CH₂-CH₂-CH=CH-CH₂-CH₂,

-CH₂-C≡C-CH₂-CH₂-CH₂, or

-CH₂-CH₂-C≡C-CH₂,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, a p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or -CH(OR₇)-alkyl[,]; [-C(=W)-alkyl, -C(=W)-aryl, or

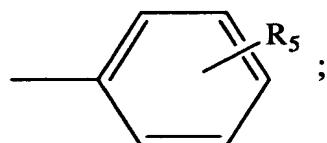
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-C(=W)-heteroaryl;]

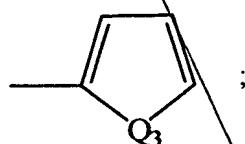
alkyl is lower alkyl;

aryl is phenyl or



where R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

Q₃ is -O-, -S-, -NH-, or -CH=N-;[W is CH₂ or CHR₈ or N-R₉;]R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;[R₈ is lower alkyl;R₉ is hydroxy, alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above;]

and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R

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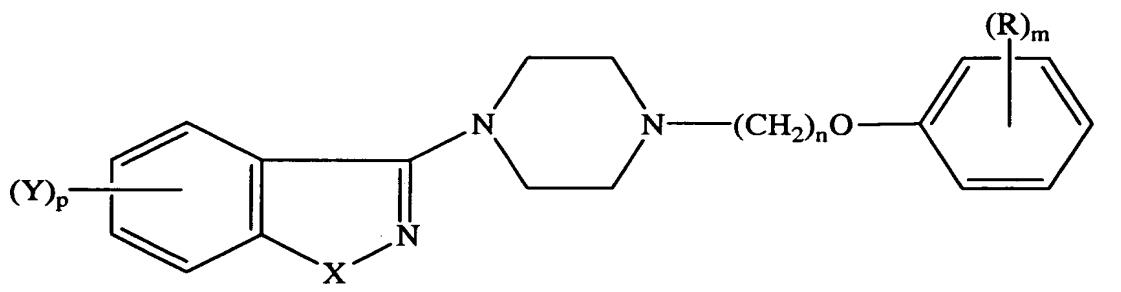
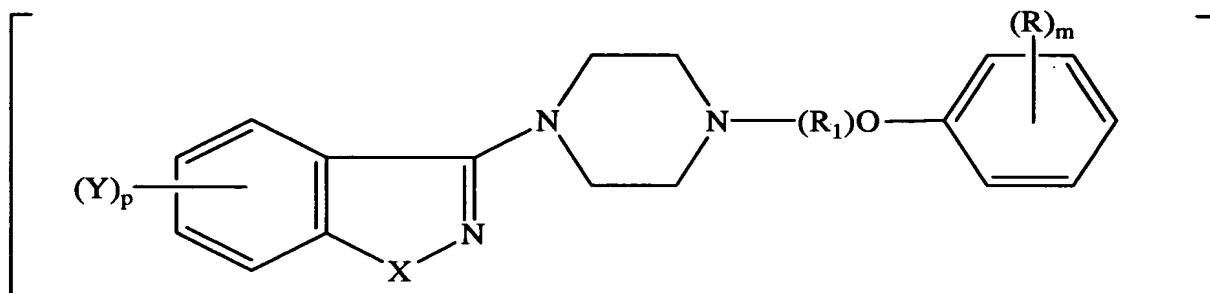
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~~is hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁ - C₄ alkoxy, or -COQR₂₃ where R₂₃ is H or C₁ - C₄ alkyl;~~
~~with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;~~
~~[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable~~
~~acid addition salt thereof, in an amount sufficient to produce an antipsychotic~~
~~effect, and a pharmaceutically acceptable carrier therefor.~~

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32. (Thrice Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound of the formula:



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

where aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower

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alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy when p is 2 and X is -O-;

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂,

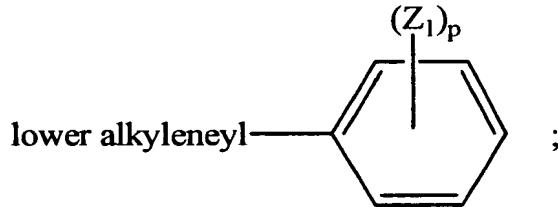
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂- or

-CH₂-CH₂-C≡C-CH₂,

the -CH=CH- bond being cis or trans;

CS
R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₂ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,]

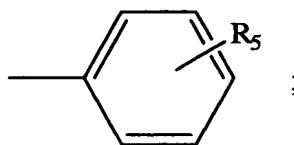
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$-\text{C}(=\text{O})\text{-alkyl}$, $-\text{C}(=\text{O})\text{-O-alkyl}$, $-\text{C}(=\text{O})\text{-aryl}$, $-\text{C}(=\text{O})\text{-heteroaryl}$, or
 $-\text{CH}(\text{OR}_7)\text{-alkyl}$; $-\text{C}(=\text{W})\text{-alkyl}$, $-\text{C}(=\text{W})\text{-aryl}$, or
 $-\text{C}(=\text{W})\text{-heteroaryl}$;

wherein alkyl is lower alkyl;

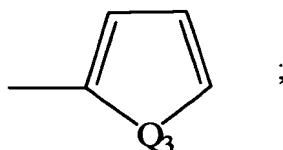
aryl is phenyl or



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wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



Q_3 is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{CH}=\text{N}-$;

[W is CH_2 or CHR_8 or $\text{N}-\text{R}_9$;]

R_7 is hydrogen, lower alkyl, or [($\text{C}_2\text{-C}_{11}$) alkanoyl] acyl;

[R_8 is lower alkyl;

R_9 is hydroxy, alkoxy, or $-\text{NHR}_{10}$; and

R_{10} is hydrogen, lower alkyl, $\text{C}_1\text{-C}_3$ acyl, aryl, $-\text{C}(=\text{O})\text{-aryl}$ or $-\text{C}(=\text{O})\text{-heteroaryl}$,

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where aryl and heteroaryl are as defined above;]
and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

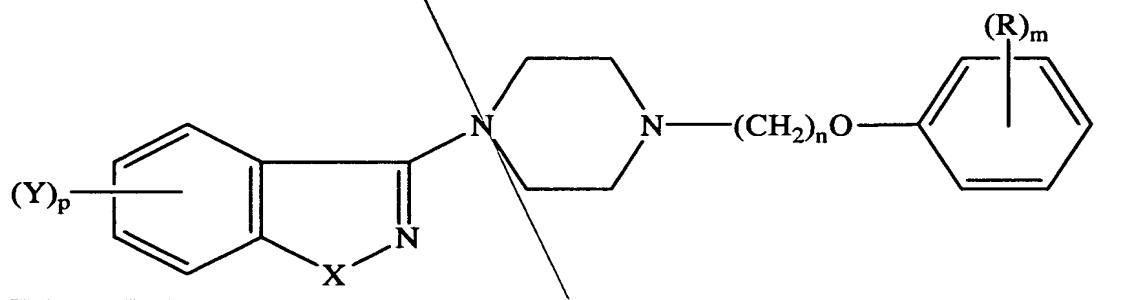
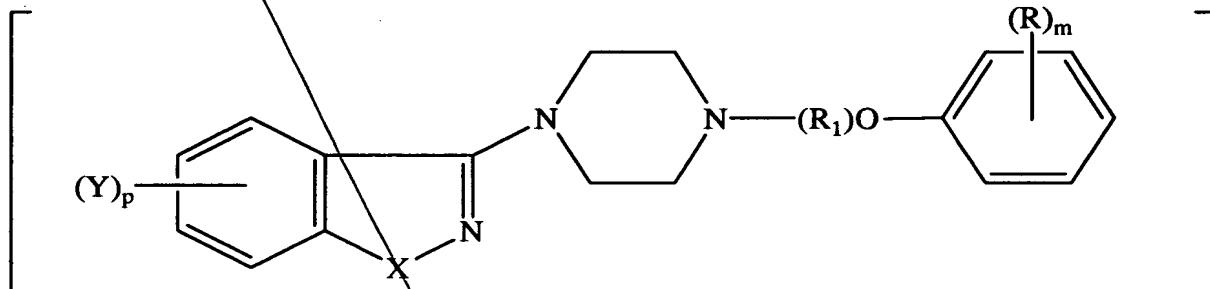
wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;
[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.

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33. (Thrice Amended) An analgesic composition, which comprises a compound of the formula:



wherein,

X is $-O-$, $-S-$, $-NH-$, or $[-N(R_2)]-N(R_2)$;

*R*₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (*C*₃–*C*₁₀) cycloalkyl, aroyl, (*C*₂–*C*₁₁) alkanoyl, and phenylsulfonyl groups;

wherein aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when *p* is 1;

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Y is lower alkoxy when p is 2 and X is -O-:

[R₁ is R₂₀, R₂₁ or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where] n is 2, 3, 4, or 5;

[R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂,

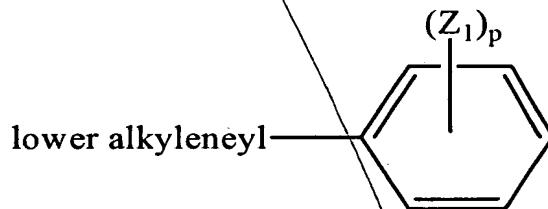
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂- or

-CH₂-CH₂-C≡C-CH₂,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group, or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen, and p is as previously defined;]

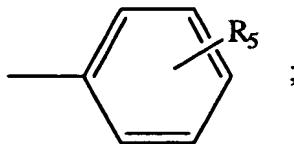
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

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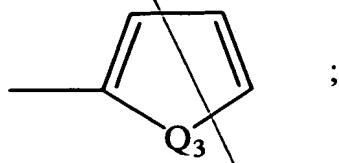
~~-CH(OR₇)-alkyl[,]~~; ~~[-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;]~~wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, [lower dialkylamino,] nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;[W is CH₂ or CHR₈ or N-R₉,]R₇ is hydrogen, lower alkyl, or [(C₂-C₁₁) alkanoyl] acyl;[R₈ is lower alkyl;R₉ is hydroxy, alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;] and

m is 1, 2, or 3;

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with the ~~exclusion of compounds wherein X is O or S, Y is hydrogen, and R is~~
~~hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄~~
~~alkoxy, or -COOR₂₃~~

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wherein R₂₃ is H or C₁-C₄ alkyl;

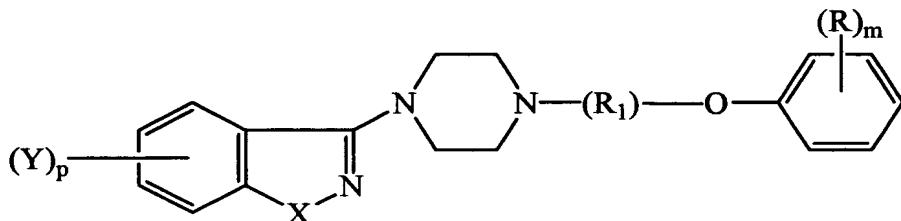
with the ~~exclusion of compounds wherein X is -S-, [R₁ is R₂₀,] R is H, and m=1;~~
~~[all geometric, optical, and stereoisomers thereof,] or a pharmaceutically acceptable~~
~~acid addition salt thereof, in an amount sufficient to produce a pain-relieving~~
~~effect, and a pharmaceutically acceptable carrier therefor.~~

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37. (Amended) A method of treating psychoses, which comprises administering to a mammal a psychoses-treating effective amount of a compound as claimed in claim 1, 25, 26, 27, [29] 28 or 29.

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46. (Amended) A compound of the formula

C7

whereinX is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-,
-CH₂-C≡C-CH₂-,
-CH₂-CH=CH-CH₂-CH₂-,
-CH₂-CH₂-CH=CH-CH₂-,
-CH₂-C≡C-CH₂-CH₂-, or
-CH₂-CH₂-C≡C-CH₂-,

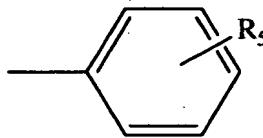
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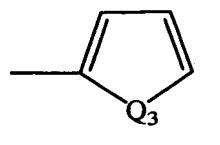
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

aryl is phenyl or

wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q₃ is -O-, -S-, -NH-, or -CH=N-;W is CH₂ or CHR₈ or N-R₉;R₇ is hydrogen, lower alkyl, or acyl;

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R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl, -C(=O)-aryl, or
-C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃.

wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof.

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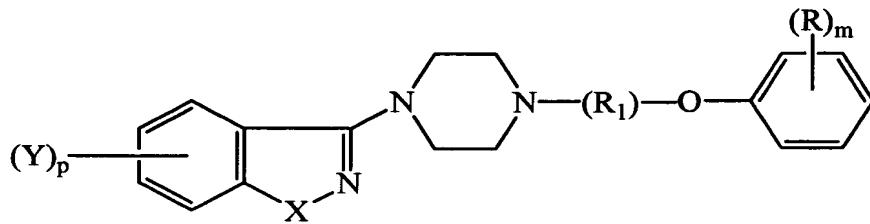
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54. (Amended) A compound as claimed in claim 46, wherein X is -O-, -S-, or
-NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen,
C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino,
-NO₂, -OCF₃, or -CF₃.

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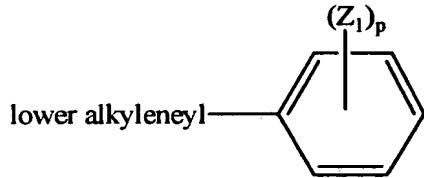
66. (Amended) A compound of the formula

C9

whereinX is -O-, -S-, -NH-, or -N(R₂);R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃=C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;aryl is as defined hereinafter;p is 1 or 2;Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or

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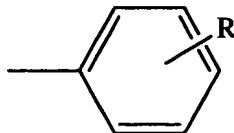
wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

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R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;R₂₁ is-CH₂-CH=CH-CH₂--CH₂-C≡C-CH₂--CH₂-CH=CH-CH₂-CH₂--CH₂-CH₂-CH=CH-CH₂--CH₂-C≡C-CH₂-CH₂- or-CH₂-CH₂-C≡C-CH₂-the -CH=CH- bond being cis or trans;R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine,fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro,lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl,

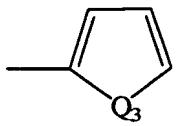
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-C(=W)-aryl, or -C(=W)-heteroaryl;wherein alkyl is lower alkyl;aryl is phenyl or

(9

wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q₃ is -O-, -S-, -NH-, or -CH=N-;W is CH₂ or CHR₈ or N-R₉;R₇ is hydrogen, lower alkyl, or acyl;R₈ is lower alkyl;R₉ is hydroxy, lower alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,-C(=O)-aryl, or -C(=O)-heteroaryl.

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wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

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wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof.

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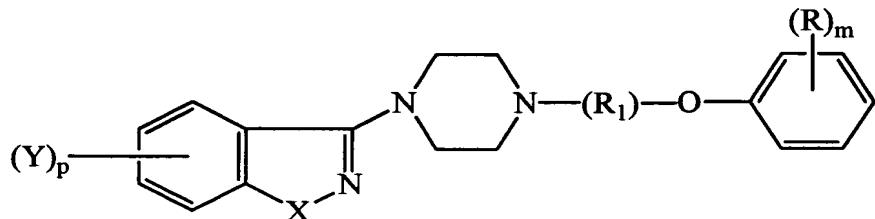
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74. (Amended) A compound as claimed in claim 66, wherein X is -O-, -S-, or

C / D -NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen,
C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino,
-NO₂, -OCF₃, or -CF₃; and n is 2, 3, or 4.

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86. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is $-O-$, $-S-$, $-NH-$, or $-N(R_2)$;

R_2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C_3-C_{10}) cycloalkyl, aroyl, (C_2-C_{11}) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-O-$;

(R_1) is

$-CH_2-CH=CH-CH_2-$,

$-CH_2-C\equiv C-CH_2-$,

$-CH_2-CH=CH-CH_2-CH_2-$,

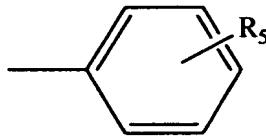
$-CH_2-CH_2-CH=CH-CH_2-$,

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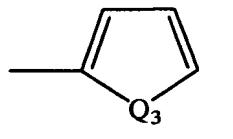
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 $-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or $-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,the $-\text{CH}=\text{CH}-$ bond being cis or trans;R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

C¹¹ bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl
thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, dialkylaminocarbonyl, formyl, $-\text{C}(=\text{O})-\text{alkyl}$,
 $-\text{C}(=\text{O})-\text{O-alkyl}$, $-\text{C}(=\text{O})-\text{aryl}$, $-\text{C}(=\text{O})-\text{heteroaryl}$, $-\text{CH}(\text{OR}_7)-\text{alkyl}$,
 $-\text{C}(=\text{W})-\text{alkyl}$, $-\text{C}(=\text{W})-\text{aryl}$, or $-\text{C}(=\text{W})-\text{heteroaryl}$;

wherein alkyl is lower alkyl;aryl is phenyl or

wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, lower dialkylamino, nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is

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wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

where aryl and heteroaryl are as defined above; and

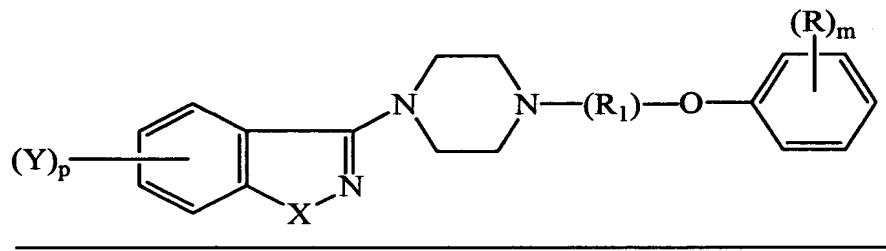
m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

87. (Amended) A pharmaceutical composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;

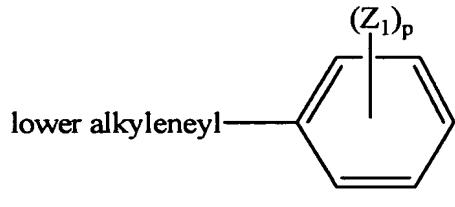
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R_1) is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are substituted by at least one C_1 - C_6 linear alkyl group, phenyl group or



wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

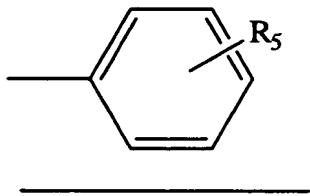
-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

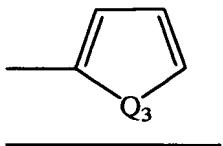
the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

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wherein alkyl is lower alkyl;aryl is phenyl or

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wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q₃ is -O-, -S-, -NH-, or -CH=N-;W is CH₂ or CHR₈ or N-R₉;R₇ is hydrogen, lower alkyl, or acyl;R₈ is lower alkyl;R₉ is hydroxy, lower alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl, -C(=O)-aryl, or -C(=O)-heteroaryl,wherein aryl and heteroaryl are as defined above; and

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m is 1, 2, or 3:

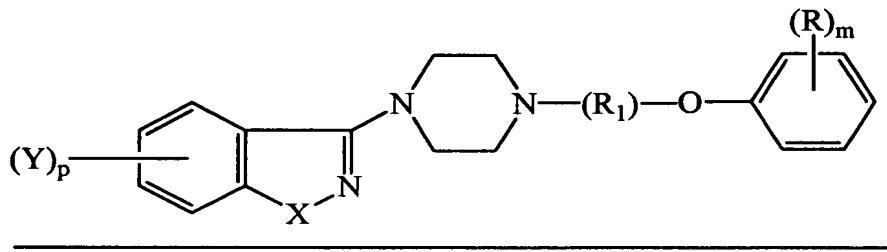
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

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wherein R₂₃ is H or C₁-C₄ alkyl:

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, and a pharmaceutically acceptable carrier therefor.

88. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups:

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is

$$\text{--CH}_2\text{--CH}=\text{CH--CH}_2\text{--}$$

$$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-,$$

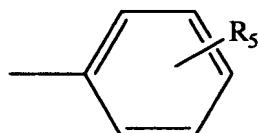
$$\text{--CH}_2\text{--CH}=\text{CH--CH}_2\text{--CH}_2\text{--}$$

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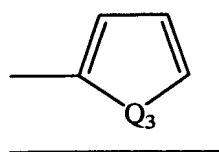
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 $-\text{CH}_2-\text{CH}_2-\text{CH}=\text{CH}-\text{CH}_2-$ $-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or $-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,the $-\text{CH}=\text{CH}-$ bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, $-\text{C}(=\text{O})-\text{alkyl}$,
 $-\text{C}(=\text{O})-\text{O-alkyl}$, $-\text{C}(=\text{O})-\text{aryl}$, $-\text{C}(=\text{O})-\text{heteroaryl}$, $-\text{CH}(\text{OR}_7)-\text{alkyl}$,
 $-\text{C}(=\text{W})-\text{alkyl}$, $-\text{C}(=\text{W})-\text{aryl}$, or $-\text{C}(=\text{W})-\text{heteroaryl}$;

wherein alkyl is lower alkyl;aryl is phenyl or

wherein R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is

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wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

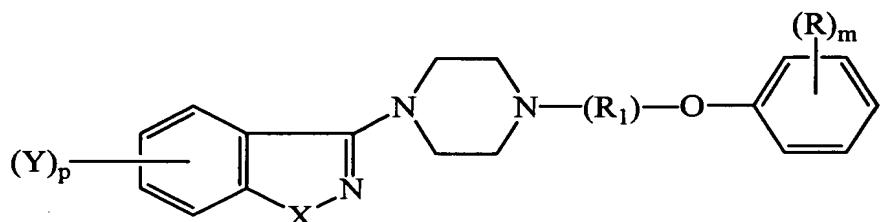
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is

hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄ alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable acid addition salt thereof, in an amount sufficient to produce an antipsychotic effect, and a pharmaceutically acceptable carrier therefor.

89. (Amended) An antipsychotic composition, which comprises a compound of the formula



wherein

X is -O-, -S-, -NH-, or -N(R₂);

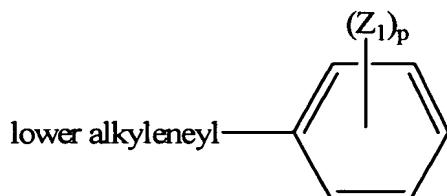
R₂ is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C₃-C₁₀) cycloalkyl, aroyl, (C₂-C₁₁) alkanoyl, and phenylsulfonyl groups;
aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



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wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂- or

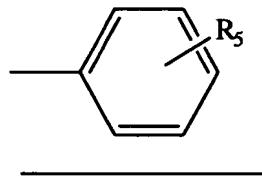
-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

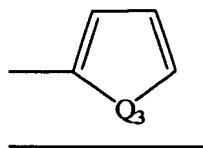
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

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heteroaryl is

wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above; and

m is 1, 2, or 3;

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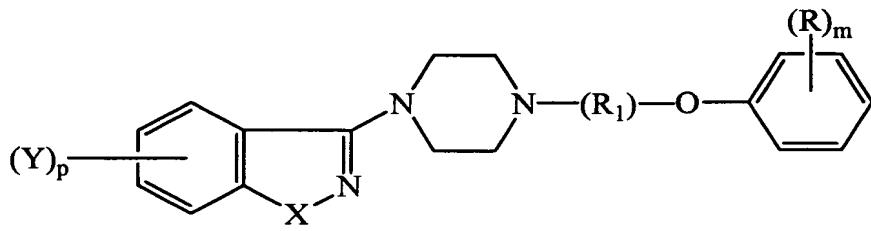
with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

C 11
with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce an antipsychotic
effect, and a pharmaceutically acceptable carrier therefor.

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92. (Amended) An analgesic composition, which comprises a compound of the formula



wherein

X is $-\text{O}-$, $-\text{S}-$, $-\text{NH}-$, or $-\text{N}(\text{R}_2)\text{---}$;

R_1 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, $(\text{C}_3\text{---C}_{10})$ cycloalkyl, aroyl, $(\text{C}_2\text{---C}_{11})$ alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is $-\text{O}-$;

(R_1) is

$-\text{CH}_2\text{---CH=CH---CH}_2\text{---}$,

$-\text{CH}_2\text{---C}\equiv\text{C---CH}_2\text{---}$,

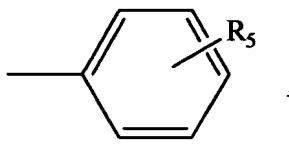
$-\text{CH}_2\text{---CH=CH---CH}_2\text{---CH}_2\text{---}$.

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-CH₂-CH₂-CH=CH-CH₂--CH₂-C≡C-CH₂-CH₂-, or-CH₂-CH₂-C≡C-CH₂-,the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,
bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl
thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,
aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,
-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,
-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

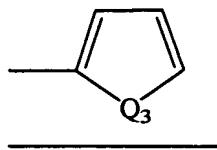
wherein alkyl is lower alkyl;aryl is phenyl or

wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

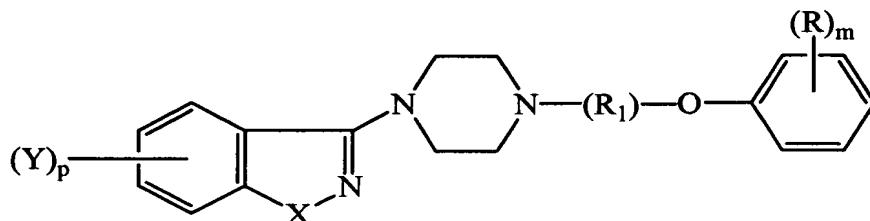
heteroaryl is

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wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;W is CH₂ or CHR₈ or N-R₉;R₇ is hydrogen, lower alkyl, or acyl;R₈ is lower alkyl;R₉ is hydroxy, lower alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,-C(=O)-aryl, or -C(=O)-heteroaryl,wherein aryl and heteroaryl are as defined above; andm is 1, 2, or 3;with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃wherein R₂₃ is H or C₁-C₄ alkyl;all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce a pain-relieving
effect, and a pharmaceutically acceptable carrier therefor.

93. (Amended) An analgesic composition, which comprises a compound of the formula



C 12

wherein

X is -O-, -S-, -NH-, or -N(R2);

R2 is selected from the group consisting of lower alkyl, aryl lower alkyl, aryl, (C3-C10) cycloalkyl, aroyl, (C2-C11) alkanoyl, and phenylsulfonyl groups;

aryl is as defined hereinafter;

p is 1 or 2;

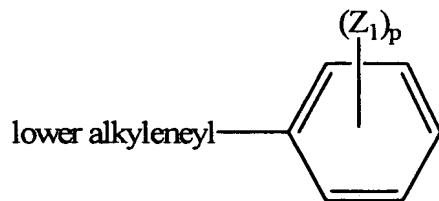
Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy, or halogen when p is 2 and X is -O-;

(R1) is R20 or R21 in which one or more carbon atoms of R20 or R21 are substituted by at least one C1-C6 linear alkyl group, phenyl group or

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wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂ or halogen;

R₂₀ is -(CH₂)_n-, where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

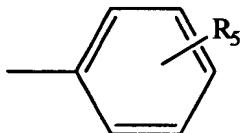
-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

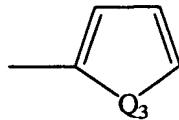
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl,

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-CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;wherein alkyl is lower alkyl;aryl is phenyl or

C 12
wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl iswherein Q₃ is -O-, -S-, -NH-, or -CH=N-;W is CH₂ or CHR₈ or N-R₉;R₇ is hydrogen, lower alkyl, or acyl;R₈ is lower alkyl;R₉ is hydroxy, lower alkoxy, or -NHR₁₀; andR₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,-C(=O)-aryl, or -C(=O)-heteroaryl.

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wherein aryl and heteroaryl are as defined above;
and

m is 1, 2, or 3;

with the exclusion of compounds wherein X is O or S, Y is hydrogen, and R is
hydrogen, C₁-C₄ alkyl, chlorine, fluorine, bromine, iodine, cyano, C₁-C₄
alkoxy, or -COOR₂₃

wherein R₂₃ is H or C₁-C₄ alkyl;

with the exclusion of compounds wherein X is -S-, R₁ is R₂₀, R is H, and m=1;
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable
acid addition salt thereof, in an amount sufficient to produce a pain-relieving
effect, and a pharmaceutically acceptable carrier therefor.

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104. (Amended) A compound as claimed in claim 96, wherein X is -O-, -S-, or
-NH-; Y is H, Cl, F, or -CF₃; R is selected from the group consisting of hydrogen,
C₁-C₃ alkyl, C₁-C₃ alkoxy, -OH, Cl, F, Br, I, C₁-C₃ monoalkylamino, acylamino,
-NO₂, -OCF₃, or -CF₃; and n is 2, 3, or 4.